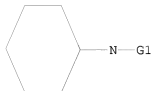


L1 STR



G1 C,CH2,S02



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:40:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 190 TO ITERATE100.0% PROCESSED 190 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2973 TO 4627
PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 12:40:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4121 TO ITERATE100.0% PROCESSED 4121 ITERATIONS 91 ANSWERS
SEARCH TIME: 00.00.01

L3 91 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.36	178.57

FILE 'CAPLUS' ENTERED AT 12:40:42 ON 12 DEC 2008
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FILE COVERS 1907 - 12 Dec 2008 VOL 149 ISS 25

FILE LAST UPDATED: 11 Dec 2008 (20081211/ED)

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=> s l3

L4 13 L3

=> d ibib abs hitstr tot

14 ANMERK 1 OF 13 CAPUSU CAPUSUT 2009 ACS ON STM
ACCESSION NUMBER: 2009:1299741 CAPUSUT
CONNECTION NUMBER: 414:513868
SYNOPSIS TITLE: Nitrolic nitrogen-containing compounds as
hydrocarbon
tuberculosis HIV inhibitors and their preparation,
pharmaceutical compositions and use in the treatment
of bacterial infections
INVENTOR(S): Barfoot, Christopher; Bayana, David Thomas; Miles,
Timothy; Pearson, David
PATENT ASSIGNER(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 121pp.
COUNTRY: PAKISTAN
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACQ. DEM. COVER: 1
PATENT INFORMATION:

[illegible]

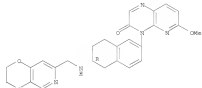
GI

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STRUCTURE DIAGRAM LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
AS The reaction relates to bicyclic nitrogen-containing compds. of formula 2
which are antitubercular agents. Compsd. of formula 1 wherein A is CH3,
wherein R1 and R2 are independent substituents, and wherein R3 is H or CH3.
(un)substituted CH2, with a double bond between S2 and S4; one of S2 and
S3
is (un)substituted CH and N, the other is (un)substituted CH3; S2 is O and
S4 is C=O; when S2 is C=O alkyl, if R1 or R2 then S2 is CH and CF3; R1 is
H, CH3, CH2, CH3, WZ, Cl-alkyl, Cl-alkoxy, mono-, di-, or
tri-substituted phenyl, benzyl, allyl, naphthyl, aralkyl, aralkenyl,
CH2-Cl-alkoxy, etc.; R2 is H and Cl-alkyl; A is (un)substituted
10-membered heterocyclic ring and S3 and S4; R3 is (un)substituted
aralkenyl, aralkyl, or cyclopropyl group.

```

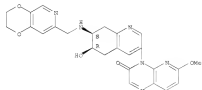
14 ANSWER 1 OF 13 CAP106 COPYRIGHT 2008 ACS on 379 (Cont Inprod)



IT 1073632-36-6P
 RL: PAC (Pharmacological activity); PEP (Physical, engineering or
 chemical
 process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL
 (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (drug candidates; preparation of biogenic nitrogen-containing compounds)

AS Mycobacterium tuberculosis H37Rv inhibitors useful in the treatment of
 bacterial infections)
NN 1073632-36-6 CAP108
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



IT 1073632-07-1P 1073632-08-2P
 RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification
 or recovery); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)
 (drug candidate; preparation of bicyclic nitrogen-containing compounds)

```

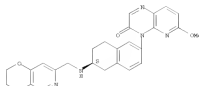
08      Mycobacterium tuberculosis
      (bacterial infections)
F01  1073632-07-1  CAPLUS
C01  INDEX NAME NOT YET ASSIGNED

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Habte

14 NUMBER 01 OF 17 CAPSULES COPYRIGHT 2008 ACS ON (Continued)
 15 DIMENSIONS (if any), are claimed. Example number: 10-12823 (as prepd. by a
 16 person other than the inventor). All the invention, claims, and/or drawings
 17 were evaluated for their Mycobacterium tuberculosis H37Rv inhibitory activity
 18 and/or cytotoxicity by the following methods: 1) that all three other tested
 19 MIC values of 5.0, 0.2, and 0.25 mg/ml.
 20 21 MIC (Pharmacological activity): R7F (Properties): R7B (Purification
 22 or recovery): R7C (Reactant): R7D (Therapeutic use): R7E (Biological
 23 use): R7F (Preparation): R7G (Reactant or reagent): R7H (Uses (In
 24 drug candidate and intermediate, preparation of biocycle
 25 nitrogen-containing
 26 compounds as Mycobacterium tuberculosis H37Rv inhibitors useful in the
 27 treatment of bacterial infections).
 28 R7B 1070131-73 CAPSULES
 29 Cyl 1070131-73 CAPSULES
 30 Cyl 1070131-73 CAPSULES
 31 Cyl 1070131-73 CAPSULES
 32 Cyl 1070131-73 CAPSULES
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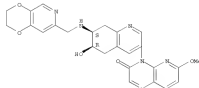
Absolute stereochemistry.



HN 1073631-8)-8 CAP118
 CN Pyrido[2,3-b]pyrazin-3(4R)-one, 4-[(6R)-6-[[[2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-6-methoxy-

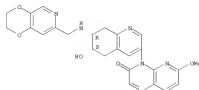
Absolute stereochemistry

14 ANSWER 1 OF 13 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



FN 1073632-08-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

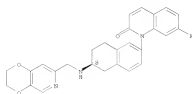


TX	1073631-76-19	1073631-79-49	1073631-82-99
	1073631-86-29	1073631-92-19	1073631-98-99
	1073632-1-19	1073632-10-19	1073632-16-19
	1073632-25-25	1073632-29-79	1073632-39-59
	1073632-42-49		
	R1: SNC (Pharmacological activity); R9M (Synthetic preparation); T8U (Therapeutic use); R10L (Biological study); P8E8 (Preparation); U8E8 (Use)		
	(Drug candidate; preparation of hecyclic nitrogen-containing compounds)		
	Mycobacterium tuberculosis H37Rv inhibitors useful in the treatment of bacterial infections)		
FX	1073631-76-19	1073631-79-49	1073631-82-99
CH	21380	Onitrolonolone, 1-(1S,4S)-6-(11-(2,7-dihydro-1,4-dioxin-2,7-ylidene-7-yl)amino)-5,6,7,8-tetrahydro-2-naphthalenyl 1,7-difluoro-	

Absolute stereochemistry

12/12/2008

14 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



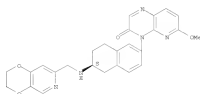
● 2 HCl

HN 1073631-19-4 CAPLUS
 CN Pyrido[2,3-b]pyrazine-3(4H)-one, 4-[[6R]-6-[[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-6-methoxy-, hemate (1:1) (CA INDEX NAME)

CN 1

CN 1073631-19-4
 CNF C26 R25 R5 O4

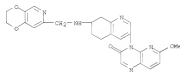
Absolute stereochemistry.



CN 2

CN 65-85-2
 CNF C7 R4 O2

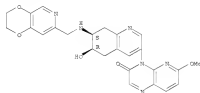
14 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
 CN INDEX NAME NOT YET ASSIGNED



● HCl

HN 1073631-82-1 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



● HCl

HN 1073631-82-1 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

14 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

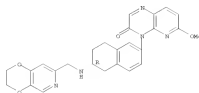


HN 1073631-82-9 CAPLUS
 CN Pyrido[2,3-b]pyrazine-3(4H)-one, 4-[[6R]-6-[[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-6-methoxy-, hemate (1:1) (CA INDEX NAME)

CN 1

CN 1073631-82-9
 CNF C26 R25 R5 O4

Absolute stereochemistry.



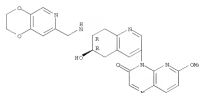
CN 2

CN 65-85-2
 CNF C7 R4 O2



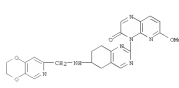
HN 1073631-84-3 CAPLUS
 CN Pyrido[2,3-b]pyrazine-3(4H)-one, 4-[[7-[[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-quinolyl]-7-methoxy-, hydrochloride (1:1) (CA INDEX NAME)

14 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



● 2 HCl

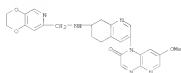
HN 1073632-11-7 CAPLUS
 CN Pyrido[2,3-b]pyrazine-3(4H)-one, 4-[[6-[[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-quinolyl]-6-methoxy-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

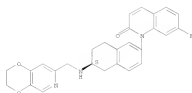
HN 1073632-11-7 CAPLUS
 CN Pyrido[2,3-b]pyrazine-3(4H)-one, 4-[[6-[[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-quinolyl]-7-methoxy-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



HN 1073632-24-2 CAPLUS
 CN 2-[1H]-Quazolinone, 3-[[6S]-6-[[[2,3-dihydro-1,4-dioxino[2,3-e]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-7-fluoro- (CA INDEX NAME)

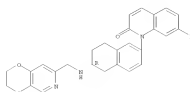
Absolute stereochemistry.



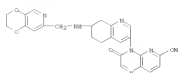
HN 1073632-29-7 CAPLUS
 CN 2-[1H]-Quazolinone, 3-[[6S]-6-[[[2,3-dihydro-1,4-dioxino[2,3-e]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-7-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

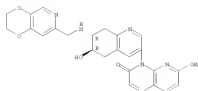


HN 1073632-29-7 CAPLUS
 CN Pyridin[2,3-b]pyrazin-7(4H)-one, 4-[[[2,3-dihydro-1,4-dioxino[2,3-e]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-quinazolinyl]-6-methoxy- (CA INDEX NAME)



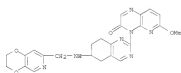
HN 1073632-39-9 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

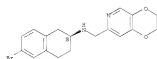
HN 1073632-42-4 CAPLUS
 CN Pyridin[2,3-b]pyrazin-3(4H)-one, 4-[[[6-[[[2,3-dihydro-1,4-dioxino[2,3-e]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-quinazolinyl]-6-methoxy- (CA INDEX NAME)



IT 1073632-43-99 1073632-65-3P 1073632-70-8P
 1073632-73-2P 1073632-75-3P 1073632-76-4P
 1073632-78-4P 1073632-79-7P 1073632-82-5P
 1073632-84-4P 1073632-85-5P 1073632-89-5P
 RL RCT (Reaction); SPM (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (Intermediary preparation of biologic nitrogen-containing compounds as Mycobacterium tuberculosis H37Rv inhibitors useful in the treatment of bacterial infections)

HN 1073632-43-9 CAPLUS
 CN 1,4-Dioxano[1,2-c]pyridine-7-methanamine, 8-[[[2,3-dioxano-1,2,3,4-tetrahydro-2-naphthalenyl]-2,3-dihydro- (CA INDEX NAME)

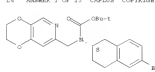
Absolute stereochemistry.



HN 1073632-45-1 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

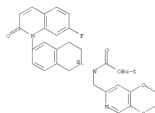
Absolute stereochemistry.

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

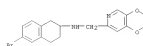


HN 1073632-70-8 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

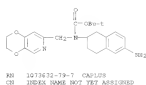
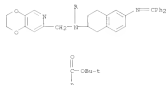
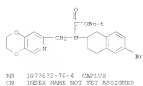


HN 1073632-73-3 CAPLUS
 CN 1,4-Dioxino[2,3-e]pyridine-7-methanamine, 8-[[[2,3-dioxano-1,2,3,4-tetrahydro-2-naphthalenyl]-2,3-dihydro- (CA INDEX NAME)

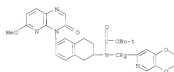
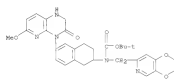


HN 1073632-75-3 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

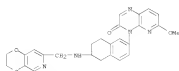
14 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STM (Continued)



14 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STM (Continued)



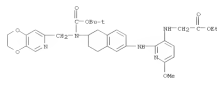
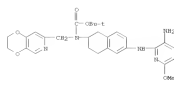
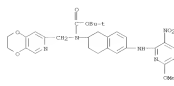
HN 1073632-91-3 CAPLUS
CN Pyrido[1,3-b]pyrazin-3(4H)-one, 4-[6-[[[2,3-dihydro-1,4-dioxano[2,3-c]pyridin-1-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-6-methoxy- (CA INDEX NAME)



IT 1073632-91-3P
Rls PAC (Pharmacological activity); PEP (Preparation); SPH (Synthetic

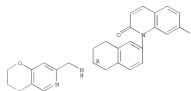
Habe

14 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STM (Continued)



14 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STM (Continued)
preparation); THO (Therapeutic use); RLOL (Biological study); PEP (Preparation); PPOC (Process)
[prophetic drug candidate]; prepn. of bicyclic nitrogen-contg. compds. as Mycobacterium tuberculosis H37rv inhibitors useful in the treatment of bacterial infections)
HN 1073632-87-2 CAPLUS
CN 2(1H)-Quinolizone, 1-[(4R)-6-[[[2,3-dihydro-1,4-dioxano[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-7-fluoro-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.



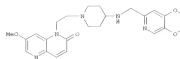
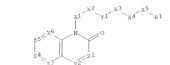
● RCL

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RCL FORMAT

44 JANUARY 2 OF 13 CAPSULE COPYRIGHT 2028 ACS ON STM
 ALLOCATION NUMBER: 2007-1396057 CAPSULE
 DOCUMENT NUMBER: 161-07070
 Preparation of naphthylindolones and related compounds
 and pharmaceutical agents
 Inventor(s): Kiyoto, Taro; Aono, Junichi; Tanaka, Tadashi;
 Tawata, Yoshihiro; Yokotani, Miki; Hoshiki, Toshiyuki; Uchiyama,
 Fumihito; Shino, Hiroki; Hoshikawa, Akiyoshi
 Patent Assignee(s): Toyama Chemical Co., Ltd.; Topyu Taiho
 Pharmaceutical Co., Ltd.
 SCORE: PCT Int. Appl., 270P
 COUNTRY: JPN
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY AC. NUM. COUNT: 1
 STATUS: Incorporated

[illegible]

14 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2008 ACS on STM (Continued)

[illegible]

17 959614-88-1P 959614-93-8P 959615-56-6P
959615-57-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); URES
(Uses)

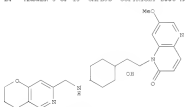
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IN  959614-88-1  CAPLUS
CN  1,5-Naphthyridin-2(1H)-one, 1-[2-[cis-4-[[2,3-dihydro-1,4-dioxino[2,3-
   c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]-7-methoxy- (CA
INDEX NAME)

```

Relative stereochemistry

14 ANSWER 3 OF 13 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)

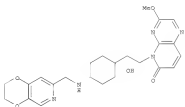


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FN  959614-93-9  CAPLUS
CN  Pyrido[2,3-b]pyrazin-6(5H)-one,
5-[2-[[cis-4-[[[2,3-dihydro-1,4-dioxino[2,3-
c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]-3-methoxy-
INDEX NAME]

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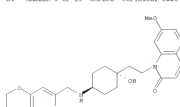
Relative stereochemistry



RXN 959615-56-6 CASUSE
 CN 1,5-Bisphosphorinidin-2(1H)-one, 1-[2-[trans-4-[[12,3-dihydro-1,4-dioxino[2,3-
 e]pyridin-7-yl)methyl]amino]-1-hydroxyethyl]ethyl]-7-methoxy- (CA
 INDEX NAME)

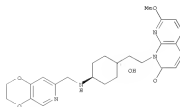
Relative abundances of *Stenobothrus* spp.

1.4 ANSWER 3 OF 13 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



IN 959615-57-7 CAPLOS
 CN Pyrido[2,3-b]pyrazin-6(5H)-one, 5-[2-[(trans-4-[[1,2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]-3-methoxy-, (1S,2R,3R,4R,5R,6R,7R,8R)

Relative stereochemistry



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

14 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2008 ACS ON STN
 ACCESSION NUMBER: 2007-117675 CAPLUS
 DOCUMENT NUMBER: 167462228
 TITLE: Antibacterial agents
 INVENTOR(S): Miller, William Henry Price, Alan F.
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 46pp.
 OTHER PUBLID: COMM: P16022
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MO 2007118130	A2	20071018	MO 2007-086018	20070405
MO 2007118130	A3	20090405		
WI, AE, AG, AU, AW, AT, AR, AD, AS, BA, BB, BG, BR, BS, BY, BE, CA, CH, CN, CO, CU, CY, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GR, GM, GU, GW, HT, HN, HU, ID, IL, IN, JP, KE, KG, KM, KN, KR, KZ, LA, LB, LG, LI, LU, LV, LY, MA, MD, MG, MN, MU, MW, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RU, SC, SD, SE, SG, SI, SK, SM, SN, ST, SV, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
INT, AT, BE, BG, BR, CA, CH, CN, CY, CZ, DE, EE, ES, FI, FR, GB, GR, HU, IE, IL, IN, JP, KE, KG, KM, KN, KR, KZ, LA, LB, LG, LI, LU, LV, LY, MA, MD, MG, MN, MU, MW, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RU, SC, SD, SE, SG, SI, SK, SM, SN, ST, SV, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
INT, AT, BE, BG, BR, CA, CH, CN, CY, CZ, DE, EE, ES, FI, FR, GB, GR, HU, IE, IL, IN, JP, KE, KG, KM, KN, KR, KZ, LA, LB, LG, LI, LU, LV, LY, MA, MD, MG, MN, MU, MW, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RU, SC, SD, SE, SG, SI, SK, SM, SN, ST, SV, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				

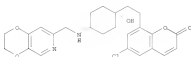
PRIORITY APPL. INFO.: US 2006-744749 P 20040406

OTHER SOURCE(S):
 AS 2k-chloro-3-one derivative useful in the treatment of bacterial infections in mammals, particularly humans, are disclosed herein.

IT 952657-74-8 CAPLUS
 RI: PAC (Pharmaceutical activity); SRN (Synthetic preparation); TSD (Therapeutic use); RIG (Biological study); PREP (Preparation); USES (Uses);
 RI: PAC (Pharmaceutical activity); SRN (Synthetic preparation); TSD (Therapeutic use); RIG (Biological study); PREP (Preparation); USES (Uses);

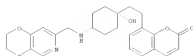
RI 952657-74-8 CAPLUS
 CH 2k-1-Benzopyran-3-one,
 6-chloro-8-[[2-[cis-4-[[1(2,3-dihydro-1,4-dioxino[2,3-
 c]pyridin-7-yl)methyl]amino]-1-hydroxypropyl]ethyl]- (CA INDEX NAME)

Relative stereochemistry.



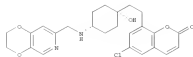
14 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

14 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)
 RI 952657-97-5 CAPLUS
 CH 2k-1-Benzopyran-3-one, 8-[[2-[cis-4-[[1(2,3-dihydro-1,4-dioxino[2,3-
 c]pyridin-7-yl)methyl]amino]-1-hydroxypropyl]ethyl]- (CA INDEX NAME)
 Relative stereochemistry.

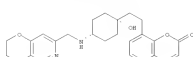


IT 952657-74-8 CAPLUS
 RI: PAC (Pharmaceutical activity); TSD (Therapeutic use); RIG (Biological study); USES (Uses);
 CH 2k-1-Benzopyran-3-one,
 6-chloro-8-[[2-[cis-4-[[1(2,3-dihydro-1,4-dioxino[2,3-
 c]pyridin-7-yl)methyl]amino]-1-hydroxypropyl]ethyl]- (CA INDEX NAME)

Relative stereochemistry.



RI 952657-97-5 CAPLUS
 CH 2k-1-Benzopyran-3-one, 8-[[2-[cis-4-[[1(2,3-dihydro-1,4-dioxino[2,3-
 c]pyridin-7-yl)methyl]amino]-1-hydroxypropyl]ethyl]- (CA INDEX NAME)
 Relative stereochemistry.



14 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2008 ACS ON STN
 ACCESSION NUMBER: 2004-1155996 CAPLUS
 DOCUMENT NUMBER: 146100726
 TITLE: Preparation of novel nitrograted heterocyclic compounds as antibacterial agents
 INVENTOR(S): Kiyoto, Taro Tanaka, Tadashi Tsutsumi, Yasuhiko Ando, Chumichi Matsuo, Masayasu Kaseguchi, Yasuhiko Noguchi, Toshiyuki Ushiki, Yasuo Ushiyama, Fumihiko Iizawa, Ritsko
 PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan Taisho Pharmaceutical Co., Ltd.
 SOURCE: PCT Int. Appl., 54pp.
 OTHER PUBLID: Patent
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MO 2006137485	A1	20061228	MO 2006-7912515	20060622
WI, AE, AG, AU, AW, AT, AR, AD, AS, BA, BB, BG, BR, BS, BY, BE, CA, CH, CN, CO, CU, CY, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GR, GM, GU, GW, HT, HN, HU, ID, IL, IN, JP, KE, KG, KM, KN, KR, KZ, LA, LB, LG, LI, LU, LV, LY, MA, MD, MG, MN, MU, MW, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RU, SC, SD, SE, SG, SI, SK, SM, SN, ST, SV, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
INT, AT, BE, BG, BR, CA, CH, CN, CY, CZ, DE, EE, ES, FI, FR, GB, GR, HU, IE, IL, IN, JP, KE, KG, KM, KN, KR, KZ, LA, LB, LG, LI, LU, LV, LY, MA, MD, MG, MN, MU, MW, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RU, SC, SD, SE, SG, SI, SK, SM, SN, ST, SV, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
EP 1900712	A3	20060319	EP 2004-76173	20060622
FI, AT, BE, BG, BR, CA, CH, CN, CY, CZ, DE, EE, ES, FI, FR, GB, GR, HU, IE, IL, IN, JP, KE, KG, KM, KN, KR, KZ, LA, LB, LG, LI, LU, LV, LY, MA, MD, MG, MN, MU, MW, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RU, SC, SD, SE, SG, SI, SK, SM, SN, ST, SV, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				

PRIORITY APPL. INFO.: JP 2006-76850 A 20060320

MO 2006-7912515 W 20060622

OTHER SOURCE(S):
 GI: MARPAT 146100726

14 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2008 ACS on STM (Continued)

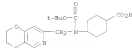
AS Compd. represented by the general formula (I) including quinoline or isochinoline derivat., or salts thereof [wherein R1 = halo, cyano, (unprotected CO2R), (unsubstituted alkyl, alkoxo, aryloxy R2-R5 = H, halo, cyano, (unprotected CO2R), (unsubstituted alkyl, alkoxo, R6, CO2R), E1, E2 = H or (unsubstituted CH, provided that at least one of E1 and E2 = H, E3 = O, S, S(O), S(O)2, each (unsubstituted NR or CO2R), X1 = a bond, CO, (unsubstituted NR), X2 = C1-4 alkylene or a bond, R6 = O-Q1, where Q1 = H, halo, (unsubstituted HO or CO2R or each (unsubstituted NR2, lower alkyl, alkoxo, or CO2R), R1a, R1b,

R1c = H, halo, (unprotected HO or CO2R), (unsubstituted NR2, lower alkyl, alkoxo, CO2R), R1d = R6-R4-R1a, -R7-C1(R8)-R9-R4-R1d, -X1-CO2R-R1d, where R1d = H, (unprotected CO2R), each (unsubstituted cycloalkyl, cycloalkenyl, aralkyl, aryl, or heterocyclyl); X4 = a bond, O, S, CO; X5 = a bond, (unsubstituted alkylene, H, or each (unsubstituted alkylene, alkynylene, or alkylene, SO2), X7 = a bond, (unsubstituted alkylene,

R2 = H, (unsubstituted NR2, each (unsubstituted alkyl or aryl) or salts thereof are prepared. These compds. have potent antibacterial activity against Gram-neg., Gram-pos., and resistant bacteria with high safety and are therefore useful as excellent antibacterial agents. Thus, reductive alkylation of 2-(4-(aminopyridin-1-yl)-1-(7-methoxyisquinolin-1-yl)ethanol with 1,4-benzodioxan-6-carboxaldehyde using NaBH4 followed treatment with 4 N HCl/Glucose gave 2-(4-((1,2,3-dihydrobenzo[b][1,4]dioxin-6-yl)methylamino)pyrrolidin-1-yl)-1-(7-methoxyisquinolin-1-yl)ethanol hydrochloride (17). 17 showed significant inhibitory concentration of 0.0333 µM against both *Staphylococcus aureus* F3029 and methicillin-resistant *S. aureus* F3045 (MSHA).

US 85689-13-1P
R1, R2 (Reactant); SPH (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
[intermediary preparation of nitrogenous heterocyclic compds. as antibacterial agents]

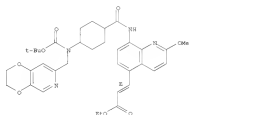
RU 885689-13-1 CAPLUS
CN Cyclohexanemethanecarboxylic acid, 4-[[[2,3-dihydro-1,4-dioxino[2,3-e]pyridin-7-ylmethyl][1,1,1-dimethyl-2-methoxy-5-quinolinyl]-2-methoxy-5-quinolinyl]-, ethyl ester, (12E)- (CA INDEX NAME)



IT 885689-43-2P 885689-53-2P 885689-64-1P
E1, PAC (Pharmacological activity); RCT (Reactant); SPH (Synthetic preparation); TWD (Therapeutic use); RCT (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
[preparation of nitrogenous heterocyclic compds. as antibacterial agents]

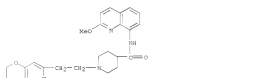
14 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2008 ACS on STM (Continued)

Double bond geometry as shown.



IT 885689-44-1P 885689-44-3P 885689-55-4P
E1, PAC (Pharmacological activity); SPH (Synthetic preparation); TWD (Therapeutic use); RCT (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
[preparation of nitrogenous heterocyclic compds. as antibacterial agents]

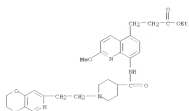
RU 885689-44-1 CAPLUS
CN 4-Piperidinecarboxamide, 1-[2-(2,3-dihydro-1,4-dioxino[2,3-e]pyridin-7-yl)ethyl]-N-(2-methoxy-5-quinolinyl)- (CA INDEX NAME)



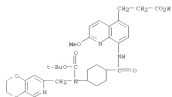
RU 885689-46-3 CAPLUS
CN 5-Quinolinesopropionic acid, 8-[[[1-[2-(2,3-dihydro-1,4-dioxino[2,3-e]pyridin-7-yl)methyl]-2-methoxy-5-quinolinyl]carboxyl]amino]-2-methoxy-5-quinolinyl]-, ethyl ester, (12E)- (CA INDEX NAME)

14 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2008 ACS on STM (Continued)

RU 885689-45-2 CAPLUS
CN 5-Quinolinesopropionic acid, 8-[[[1-[2-(2,3-dihydro-1,4-dioxino[2,3-e]pyridin-7-yl)methyl]-2-methoxy-5-quinolinyl]carboxyl]amino]-2-methoxy-5-quinolinyl]-, ethyl ester (CA INDEX NAME)

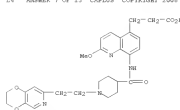


RU 885689-53-2 CAPLUS
CN 2-Propenoic acid, 3-[8-[[[4-[[[2,3-dihydro-1,4-dioxino[2,3-e]pyridin-7-ylmethyl][1,1,1-dimethyl-2-methoxy-5-quinolinyl]carboxyl]amino]cyclohexyl]carboxyl]amino]-2-methoxy-5-quinolinyl]-, ethyl ester, (12E)- (CA INDEX NAME)

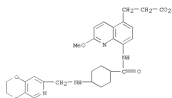


RU 885689-64-1 CAPLUS
CN 2-Propenoic acid, 3-[8-[[[4-[[[2,3-dihydro-1,4-dioxino[2,3-e]pyridin-7-ylmethyl][1,1,1-dimethyl-2-methoxy-5-quinolinyl]carboxyl]amino]cyclohexyl]carboxyl]amino]-2-methoxy-5-quinolinyl]-, ethyl ester, (12E)- (CA INDEX NAME)

14 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2008 ACS on STM (Continued)



RU 885689-55-4 CAPLUS
CN 5-Quinolinesopropionic acid, 8-[[[4-[[[2,3-dihydro-1,4-dioxino[2,3-e]pyridin-7-ylmethyl]amino]cyclohexyl]carboxyl]amino]-2-methoxy-5-quinolinyl]-, ethyl ester, (12E)- (CA INDEX NAME)



RU 885689-63-2 CAPLUS
CN 2-Propenoic acid, 3-[8-[[[4-[[[2,3-dihydro-1,4-dioxino[2,3-e]pyridin-7-ylmethyl]amino]cyclohexyl]carboxyl]amino]-2-methoxy-5-quinolinyl]-, ethyl ester, (12E)- (CA INDEX NAME)

Double bond geometry as shown.

AL4 ANMEL 12 OF 13 CAPSULE COPYRIGHT 2008 ACS ON STN
ACCESSION NUMBER: CA06709090 CAPSULE
DOCUMENT NUMBER: 14074053
TITLE:
Preparation of
[[(pprds)3,2-
n]-(C10Harylamino)]methylamino)cyclohexanones and

analogs
as antitubercular agents
INVENTOR(S):
Autman, Jeffrey Michael; Daines, Robert A.; Davies,
David Thomas Gallagher, Timothy Francis; Jones,
Stephen Ezzylee Williams; Kallian Harry Pearson, Neil
David; Pandey, Arilian
PATENT ASSIGNEE(S):
Gilead Corp., Limited, US
SOURCE:
Int. Appl., 114 pp.
COORDIN: P1KEDZ
patient
English

[illegible]

OTHER SOURCE(S): MARPAT 140-94053
OF

L4 ANSWER 12 OF 13 CAPUS COPYRIGHT 2008 ACS on 5TH (Continued)

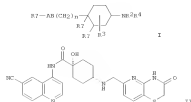


Abb Title compounds [wherein R = (un)substituted bicyclic carbocycle, heterocycle; EW, E= N or F+ or other form a bond; E2= N, or (un)substituted alkyl, alkenyl; E3= N, alkyl, alkenyl, alkoxybenzyl, (un)substituted aminoarabonyl, etc.; R4= OFS; O= CH₂, CO, SO₂; E5= (hydroxy)alkyl, alkenyl, amino, (un)substituted bicyclic carbocycle or heterocycle, etc.; n= 0-1; AB= (un)substituted aminoarabonyl, alkyloxyarabonyl, aminomethyl, etc., and pharmaceutically acceptable salts thereof; and where X is an antibacterial agent or pro-drug moiety, reductive alkylation of trans-4-amino-1-hydroxy-cyclohexanecarboxylic acid.

(6-cyano-quinolin-4-yl)amide with 3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carbaldehyde gave II in 47% yield. II•2HCl showed MIC = 2 µg/ml against bacterial infections, e.g. *S. epidermidis* CL7. Thus, I and their pharmaceutical comps. are useful for the treatment of bacterial infections.

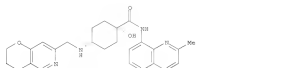
643070-13-7P 643070-18-2P 643070-19-3P
643070-20-6P 643070-40-0P 643070-52-4P
643070-54-0P

[[pyrido[3,2-b][1,4]thiazinyl)methyl]amino]cyclohexanes and analogs as antibacterial agents)

RN 643070-13-7 CAPLOS
 CN Cyclohexanecarboxamide, 4-[[[2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-N-(2-methyl-8-quinolonyl)-, cis- (CA INDEX NAME)

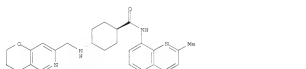
Relative stereochemistry

14 ANSWER 12 OF 13 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



R01 643070-18-2 CAPLUS
C02 Cyclohexanecarboxamide, 4-[[[2,3-dihydro-1,4-dioxino[2,3-a]pyridin-7-yl)methyl]amino]-N-(2-methyl-8-quinolinyl)-, trans- (CA INDEX NAME)

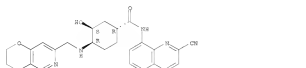
Relative stereoregularity.



720 643070-19-3 CAPLOS
 CN Cyclohexanecarboxamide, N-(2-cyano-8-quinolinyl)-4-[[[2,3-dihydro-1,4-
 dioxepin-2,3-epoxy]oxy-3-yl)methyl]amino-3-hydroxy- (1R,3R,4R)- (93

INDEX NAME)

Absolute stereochemistry.

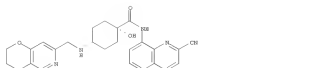


643070-20-6 CAMPTS
C72 Cyclohexanecarboxamide, N-(2-cyano-8-quinolinyl)-4-[[[2,3-dihydro-1,4-dioxine[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-, cis- ICA INDEX

TABLE 7

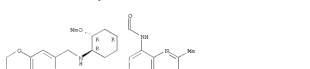
Relative Stereochemistry.

1.4 ANSWER 12 OF 13 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



IN 643070-40-0 CAPLUS
 CN Cyclohexanecarboxamide, 4-[[[2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-3-methoxy-N-(2-methyl-8-quinolinyl)-, (1R,3R,4R)- (CA
 TIONIC)

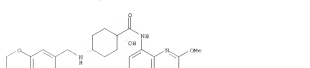
10/10/2016 10:27:56 AM



663070-52-4 CAPLOS
Cyclohexanecarboxamide, 4-[1,1,1-trifluoro-2,4-dioxo-3,4-dihydro-2H-pyran-3-yl]-

vi) methylamine]-1-hydroxy-N-(3-methoxy-5-guinoxaliny)-, cas- (CA IND)

A21167

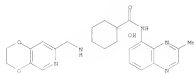


EN 643070-54-6 CAPLUS
 CN Cyclohexanecarboxamide, 4-[[[2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-

NAME)

Relative stereochemistry

14 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

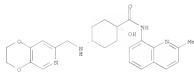


IT 643067-49-6P 643067-51-0P 643067-53-2P
 643067-55-4P 643067-57-6P 643067-61-2P
 643067-61-4P
 See PAC (Pharmacological activity); SYN (Synthetic preparation); TSD (Toxicological use); BCL (Biological study); PREP (Preparation); USLS (Uses)

Preparation of
 [[pyridin-2-yl] [4-[[4-[[4-azapropyl]amino]cyclohexane and
 analogs as antitubercular agents]

HN 643067-43-6 CAPLUS
 CN Cyclohexanecarboxamide, 4-[[[(2,3-dihydro-1,4-dioxine[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-N-(2-methyl-8-quinolyl)]-, hydrochloride (1:2), cis- (CA INDEX NAME)

Relative stereochemistry.

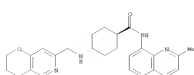


● HCl

HN 643067-51-0 CAPLUS
 CN Cyclohexanecarboxamide, 4-[[[(2,3-dihydro-1,4-dioxine[2,3-c]pyridin-7-yl)methyl]amino]-N-(2-methyl-8-quinolyl)]-, hydrochloride (1:2), trans- (CA INDEX NAME)

Relative stereochemistry.

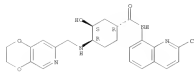
14 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

HN 643067-53-2 CAPLUS
 CN Cyclohexanecarboxamide, N-(2-cyano-8-quinolyl)-4-[[[(2,3-dihydro-1,4-dioxine[2,3-c]pyridin-7-yl)methyl]amino]-3-hydroxy-, hydrochloride (1:1), (1R,7R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

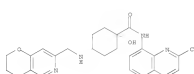


● HCl

HN 643067-53-4 CAPLUS
 CN Cyclohexanecarboxamide, N-(2-cyano-8-quinolyl)-4-[[[(2,3-dihydro-1,4-dioxine[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-, hydrochloride (1:1), cis- (CA INDEX NAME)

Relative stereochemistry.

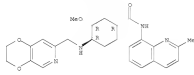
14 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

HN 643067-57-6 CAPLUS
 CN Cyclohexanecarboxamide, 4-[[[(2,3-dihydro-1,4-dioxine[2,3-c]pyridin-7-yl)methyl]amino]-N-(2-methyl-8-quinolyl)]-, hydrochloride (1:2), (1R,3R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

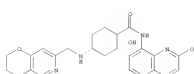


● HCl

HN 643067-51-2 CAPLUS
 CN Cyclohexanecarboxamide, 4-[[[(2,3-dihydro-1,4-dioxine[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-N-(3-methoxy-5-quinolyl)]-, hydrochloride (1:1), cis- (CA INDEX NAME)

Relative stereochemistry.

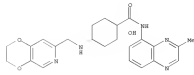
14 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

HN 643067-63-4 CAPLUS
 CN Cyclohexanecarboxamide, 4-[[[(2,3-dihydro-1,4-dioxine[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-N-(3-methyl-5-quinolyl)]-, hydrochloride (1:2), cis- (CA INDEX NAME)

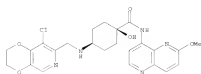
Relative stereochemistry.



● HCl

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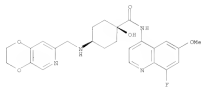
L4 ANSWER 13 OF 13 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



● 13 BC1

FIN E21567-96-2 CAPLOS
 CN Cyclohexanecarboxamide, 4-[[[(2S,3S)-2-methyl-3-oxo-2-phenylpropanamido]methyl]-2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino-1,8-bis-fluoro-6-methoxy-4-quinoliny[1]-1-hydroxy-, hydrochloride (1:2), cis- (CA INDEX NAME)

Relative stereochemistry.

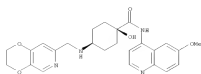


● 13 BC1

FIN E21567-99-2 CAPLOS
 CN Cyclohexanecarboxamide, 4-[[[(2S,3S)-2-methyl-3-oxo-2-phenylpropanamido]methyl]-2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino-1,8-bis-fluoro-6-(6-methoxy-4-quinoliny[1]), hydrochloride (1:2), cis- (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 13 OF 13 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



● 13 BC1

REFERENCE CONT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE SE
 FORMAT